

L1 ANSWER 4 OF 10 WPIX COPYRIGHT 2007 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2002-445507 [48] WPIX  
 DOC. NO. CPI: C2002-127023 [48]  
 TITLE: New cyclic sulfonamido-substituted pyrazolo (3,4-  
 b) pyridine derivatives, are soluble guanylate  
 cyclase stimulants useful e.g. for treating  
 cardiovascular or central nervous system diseases, sexual  
 dysfunction or inflammation  
 DERWENT CLASS: B02  
 INVENTOR: ALONSO-ALIJA C; DEMBOWSKY K; FEURER A; FLUBACHER  
 D; LANG D; PERZBORN E; STAHL E; STASCH J; STRAUB A;  
 WEIGAND S; WUNDER F  
 PATENT ASSIGNEE: (FARB-C) BAYER AG; (ALON-I) ALONSO-ALIJA C;  
 (DEMB-I) DEMBOWSKY K; (FEUR-I) FEURER A; (FLUB-I)  
 FLUBACHER D; (LANG-I) LANG D; (PERZ-I) PERZBORN E; (STA-I)  
 STAHL E; (STAS-I) STASCH J; (STRA-I) STRAUB A; (WEIG-I)  
 WEIGAND S; (WUND-I) WUNDER F; (FARB-C) BAYER HEALTHCARE AG  
 COUNTRY COUNT: 97

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 10057754	A1	20020523	(200248)*	DE	22	[0]
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WO 2002042302	A1	20020530	(200248)	DE		
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AU 2002027919	A	20020603	(200263)	EN		
EP 1339714	A1	20030903	(200365)	DE		
US 20040067937	A1	20040408	(200426)	EN		
JP 2004517828	W	20040617	(200440)	JA	85	
US 7115599	B2	20061003	(200665)	EN		
EP 1339714	B1	20061018	(200670)	DE		
DE 50111292	G	20061130	(200680)	DE		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
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DE 10057754	A1	DE 2000-10057754	20001122
EP 1339714	A1	EP 2001-989460	20011112
EP 1339714	B1	EP 2001-989460	20011112
WO 2002042302	A1	***WO 2001-EPI3064	
20011112***			

EP 1339714 A1	WO 2001-EP13064 20011112
US 20040067937 A1	WO 2001-EP13064 20011112
JP 2004517828 W	WO 2001-EP13064 20011112
US 7115599 B2	WO 2001-EP13064 20011112
EP 1339714 B1	WO 2001-EP13064 20011112
AU 2002027919 A	AU 2002-27919 20011112
JP 2004517828 W	JP 2002-544436 20011112
US 20040067937 A1	US 2003-432572 20031023
US 7115599 B2	US 2003-432572 20031023
DE 50111292 G	DE 2001-511292 20011112
DE 50111292 G	EP 2001-989460 20011112
DE 50111292 G	WO 2001-EP13064 20011112

# FILING DETAILS:

PATENT NO	KIND		PATENT NO	
AU 2002027919	A	Based on	WO 2002042302	A
EP 1339714	A1	Based on	WO 2002042302	A
JP 2004517828	W	Based on	WO 2002042302	A
US 7115599	B2	Based on	WO 2002042302	A
EP 1339714	B1	Based on	WO 2002042302	A
DE 50111292	G	Based on	EP 1339714	A
DE 50111292	G	Based on	WO 2002042302	A

PRIORITY APPLN. INFO: DE 2000-10057754 20001122

AN 2002-445507 [48] WPIX

AB DE 10057754 A1 UPAB: 20050902

NOVELTY - N-(4-Amino-2-(1-(2-fluorobenzyl)-1H-pyrazolo (3,4-b) pyridin-3-yl)-pyrimidin-5-yl)-cyclic sulfonamides (I) are new.

DETAILED DESCRIPTION - Pyrazolo-pyridine derivatives of formula (I)

and their salts, isomers and hydrates are new.

R1 = H, Cl or NH2;

Q = group completing an optionally substituted 5-7 membered saturated or partially unsaturated heterocycle, optionally containing one

or more further N, O or S heteroatoms.

An INDEPENDENT CLAIM is included for the preparation of (I).

ACTIVITY - Cardiant; vasotropic; hypotensive; thrombolytic; anticoagulant; antiinflammatory; antiangina; antiarrhythmic; cerebroprotective; antiarteriosclerotic; antiasthmatic;

cytostatic;

osteopathic; uropathic; nootropic; neuroprotective;

antiparkinsonian;

vulnerary; anti-HIV; neuroleptic; tranquilizer; hypnotic;

antimigraine;

analgesic. 6-Chloro-5-(1,1-dioxido-2-isothiazolidinyl)-2-(1-(2-fluorobenzyl)-1H-pyrazolo (3,4-b) pyridin-3-yl)-4-pyrimidineamine

(Ia).

had vasorelaxant IC50 290 nM (as determined by inhibition of phenylephrine-induced contraction of rabbit aortic rings in vitro).

MECHANISM OF ACTION - Soluble guanylate cyclase stimulant.

(I)

directly stimulate soluble guanylate cyclase and increase cellular cGMP levels, and thus cause vascular relaxation, inhibit thrombocyte aggregation, reduce blood pressure, increase coronary blood flow and potentiate the action of compounds which increase cGMP levels. USE - (I) are used as medicaments, specifically for treating cardiovascular diseases, hypertension, thromboembolic diseases, sexual dysfunction, inflammation or central nervous system disorders (all claimed). Specific disorders to be treated include cardiac insufficiency, stable or unstable angina pectoris, arrhythmia, myocardial infarction, cerebral stroke, transitory ischemic attacks, peripheral blood flow disorders, restenosis, arteriosclerosis, asthma, prostate hypertrophy, erectile dysfunction, female sexual dysfunction, osteoporosis, gastroparesis, incontinence, cognitive disorders, age-associated learning and memory disorders, vascular dementia, cranial-cerebral trauma, Alzheimer's disease, Parkinson's disease, progressive nuclear palsy, amyotrophic lateral sclerosis, Huntington's disease, multiple sclerosis, thalamic degeneration, Creutzfeld-Jacob dementia, HIV dementia, schizophrenia, Korsakoff psychosis, anxiety, stress, depression, sleep disorders, eating disorders, migraine and pain.